# STIC Search Report
## Biotech-Chem Library

STIC Database Tracking Number: 177346

| | |
|---|---|
| **TO: Deborah Lambkin**<br>**Location: rem/5B09/5C18**<br>**Art Unit: 1626**<br>**Thursday, February 02, 2006**<br><br>**Case Serial Number: 10/719556** | **From: Paul Schulwitz**<br>**Location: Biotech-Chem Library**<br>**REM-1A65**<br>**Phone: 571-272-2527**<br><br>**Paul.schulwitz@uspto.gov** |

## Search Notes

Examiner Lambkin,

Please review the attached search results.
If you have any questions or if you would like to refine the search query, please feel free to contact me at any time.

Thank you for using STIC search services!

Paul Schulwitz
Technical Information Specialist
REM-1A65
571-272-2527

Access DB# 177346

RECEIVED
JAN 24 2006
(STIC)

# SEARCH REQUEST FORM

## Scientific and Technical Information Center

Requester's Full Name: Deborah Lambkin Examiner #: 71300 Date: 1/23/06
Art Unit: 1626 Phone Number 30 2-0695 Serial Number: 10/719,556
Mail Box and Bldg/Room Location: Rem 5B24 Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.** MEY

**********

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

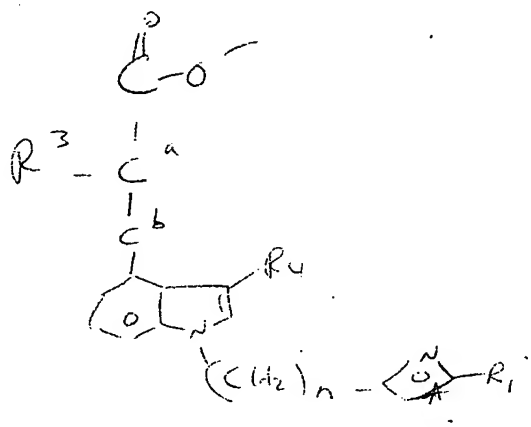
Title of Invention: Indoyl Der.

Inventors (please provide full names): Bruggeli et al

Earliest Priority Filing Date: _____

***For Sequence Searches Only*** *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please Search



A = O, S

see Cl. 1 attached.

Thanks DL

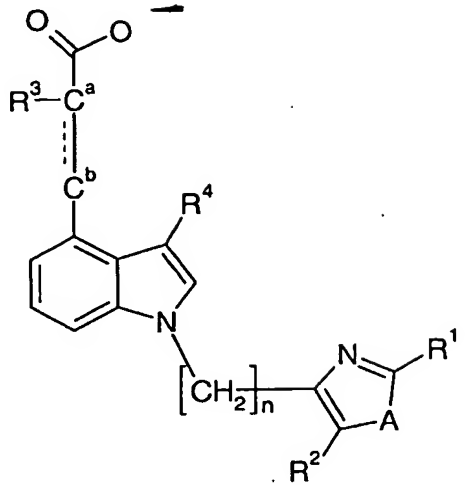
**********

| STAFF USE ONLY | Type of Search | | Vendors and cost where applicable |
|---|---|---|---|
| Searcher: | NA Sequence (#) | | STN |
| Searcher Phone #: | AA Sequence (#) | | Dialog |
| Searcher Location: | Structure (#) | | Questel/Orbit |
| Date Searcher Picked Up: | Bibliographic | | Dr.Link |
| Date Completed: | Litigation | | Lexis/Nexis |
| Searcher Prep & Review Time: | Fulltext | | Sequence Systems |
| Clerical Prep Time: | Patent Family | | WWW/Internet |
| Online Time: | Other | | Other (specify) |

PTO-1590 (8-01)

What is claimed is:

1. A compound of formula (I)



(I)

wherein

$R^1$ is unsubstituted naphthyl,

unsubstituted phenyl,

phenyl substituted with one or more substituents each independently selected from halogen, trifluoromethyl, amino, alkyl, alkoxy, alkylcarbonyl, cyano, carbamoyl, alkoxycarbamoyl, methylendioxy, carboxy, alkoxycarbonyl, aminocarbonyl, alkyaminocarbonyl, dialkylaminocarbonyl, hydroxy, alkyl substituted with one to three halogen atoms, and nitro,

unsubstituted heteroaryl which contains one or two hetero atoms selected from nitrogen, oxygen and sulfur,

or substituted heteroaryl which is heteroaryl which contains one or two hetero atoms selected from nitrogen, oxygen and sulfur and which is substituted on at least one carbon atom with a group independently selected from halogen, alkyl, alkoxy, cyano, haloalkyl and trifluoromethyl;

$R^2$ is hydrogen, alkyl or cycloalkyl;



Reg HCAP BS MP
L6 L7 L9 L12

$R^3$ is alkoxy or alkoxy substituted with one to three halogen atoms;
$R^4$ is hydrogen, alkyl or cycloalkyl;
A is oxygen or sulfur;
n is 1, 2 or 3;
wherein the bond between the carbon atoms $C^a$ and $C^b$ is a carbon carbon single or double bond;
and pharmaceutically acceptable salts and esters thereof.

2. The compound according to claim 1, wherein $R^1$ is unsubstituted phenyl or phenyl substituted with one or more substituents each independently selected from halogen, trifluoromethyl, amino, alkyl, alkoxy, alkylcarbonyl, cyano, carbamoyl, alkoxycarbamoyl, methylendioxy, carboxy, alkoxycarbonyl, aminocarbonyl, alkyaminocarbonyl, dialkylaminocarbonyl, hydroxy, alkyl substituted with one to three halogen atoms, and nitro.

3. The compound according to claim 2, wherein $R^1$ is unsubstituted phenyl or phenyl substituted with one to three substituents independently selected from the group consisting of alkoxy, alkyl, halogen and alkyl substituted with one to three halogen atoms.

4. The compound according to claim 3, wherein $R^1$ is selected from the group consisting of unsubstituted phenyl, dimethoxyphenyl, isopropyl-phenyl, fluoro-phenyl, chloro-phenyl, methyl-phenyl, trifluoromethyl-phenyl, methyl-fluoro-phenyl and isopropoxy-phenyl.

5. The compound according to claim 1, wherein $R^2$ is hydrogen or alkyl which is methyl or ethyl.

6. The compound according to claim 5, wherein $R^2$ is methyl.

7. The compound according to of claim 1, wherein $R^3$ is alkoxy which is methoxy or ethoxy.

8. The compound according to claim 1, wherein $R^4$ is hydrogen.

# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact*:**

**Mary Hale, Information Branch Supervisor**
**Remsen Bldg. 01 D86**
**571-272-2507**

## Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

*Types of relevant prior art found:*

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

**Comments:**

**Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.**

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> d his ful

     (FILE 'HOME' ENTERED AT 12:33:21 ON 02 FEB 2006)

     FILE 'REGISTRY' ENTERED AT 12:33:35 ON 02 FEB 2006
L1             STR
L2           0 SEA SSS SAM L1
L3           0 SEA SSS FUL L1
L4             STR L1
L5           1 SEA SSS SAM L4
L6          14 SEA SSS FUL L4

     FILE 'HCAPLUS' ENTERED AT 12:39:07 ON 02 FEB 2006
L7           1 SEA ABB=ON  PLU=ON  L6
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     FILE 'REGISTRY' ENTERED AT 12:39:19 ON 02 FEB 2006
L8             STR L1

     FILE 'BEILSTEIN' ENTERED AT 12:39:46 ON 02 FEB 2006
L9           0 SEA ABB=ON  PLU=ON  L6

     FILE 'MARPAT' ENTERED AT 12:39:55 ON 02 FEB 2006
L10          0 SEA SSS SAM L8
L11          1 SEA SSS FUL L8
L12          0 SEA ABB=ON  PLU=ON  L11 NOT L7


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   31 JAN 2006  HIGHEST RN 873191-05-0
     DICTIONARY FILE UPDATES:  31 JAN 2006  HIGHEST RN 873191-05-0

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
     ************************************************************

     Structure search iteration limits have been increased.  See HELP SLIMITS
     for details.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
```

on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS



FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.
**FILE CONTAINS 9,428,406 SUBSTANCES**

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

**********
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
**********

**NEW**

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**
*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE MARPAT

FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005
DE 1020040544 17 NOV 2005
EP 1595877 16 NOV 2005
JP 2005328067 24 NOV 2005
WO 2005112644 01 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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FILE 'REGISTRY' ENTERED AT 12:40:50 ON 02 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0
DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
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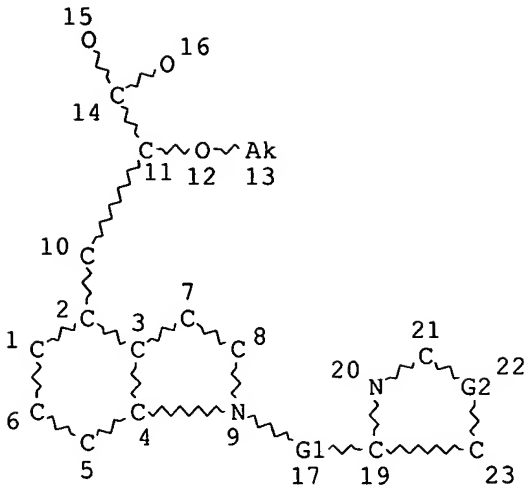
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d que stat l6
L4 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.01

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4              STR
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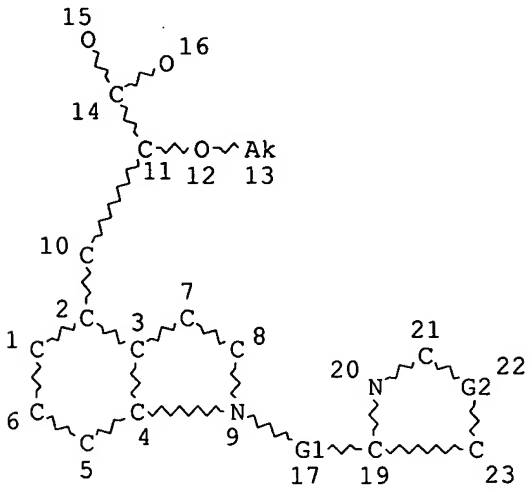


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NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE
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L7             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6


=> d l7 ibib abs hitstr

L7   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:451638  HCAPLUS
DOCUMENT NUMBER:          141:23518
TITLE:                    Preparation of indolyl derivatives for treating
                          non-insulin dependent diabetes mellitus
INVENTOR(S):              Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth,
                          Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer,
                          Markus; Mohr, Peter
PATENT ASSIGNEE(S):       Switz.
SOURCE:                   U.S. Pat. Appl. Publ., 19 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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US 2004106657 A1 20040603 US 2003-719556 20031121
CA 2505545 AA 20040610 CA 2003-2505545 20031117
WO 2004048371 A1 20040610 WO 2003-EP12814 20031117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1567523 A1 20050831 EP 2003-767555 20031117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003016556 A 20051004 BR 2003-16556 20031117
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OTHER SOURCE(S): MARPAT 141:23518
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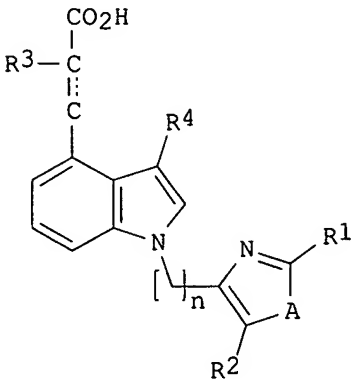

I

AB The title compds. [I; R1 = unsubstituted naphthyl, (un)substituted Ph, heteroaryl; R2 = H, alkyl, cycloalkyl; R3 = alkoxy, haloalkoxy; R4 = H, alkyl, cycloalkyl; A = O, S; n = 1-3; and their salts and esters] which may be administered to a patient for treating non-insulin dependent diabetes mellitus, were prepared and formulated. Thus, reacting Et rac-2-ethoxy-3-(1H-indol-4-yl)propionate with 4-chloromethyl-2-(3,5-dimethoxyphenyl)-5-methyloxazole in the presence of NaH in DMF followed by the hydrolysis of the resulting ester afforded rac-3-{1-[2-(3,5-dimethoxyphenyl)-5-methyloxazol-4-ylmethyl]-1H-indol-4-yl}-2-ethoxypropionic acid. The compds. I exhibit IC50 of < 50 μM for PPARα and PPARγ.

IT **698365-12-7P 698365-13-8P 698365-14-9P**
**698365-15-0P 698365-16-1P 698365-17-2P**
**698365-18-3P 698365-19-4P 698365-20-7P**
**698365-21-8P 698365-22-9P 698365-23-0P**
**698365-24-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolyl derivs. for treating non-insulin dependent diabetes mellitus)

RN 698365-12-7 HCAPLUS
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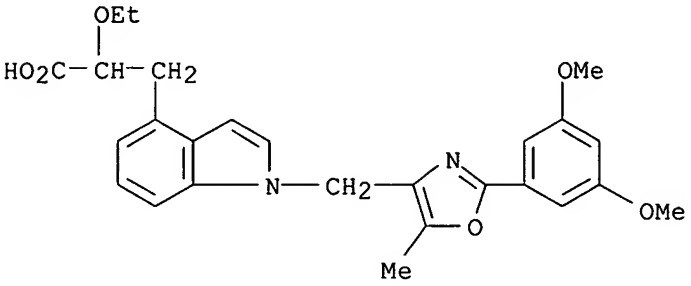

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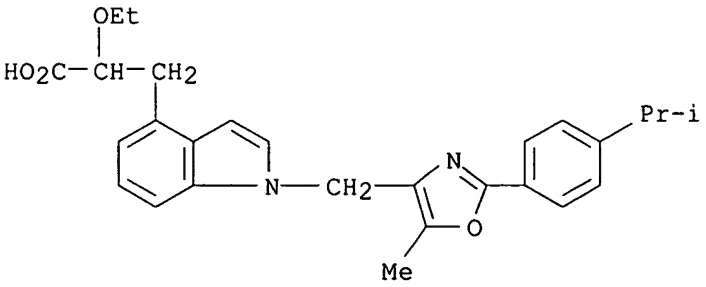

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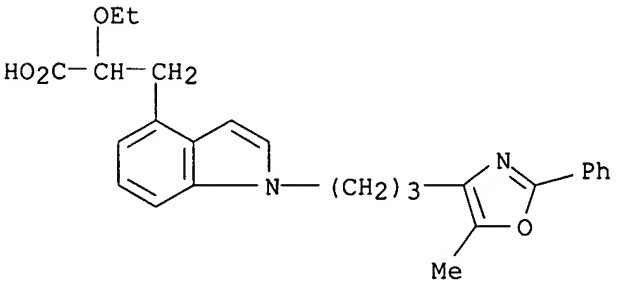

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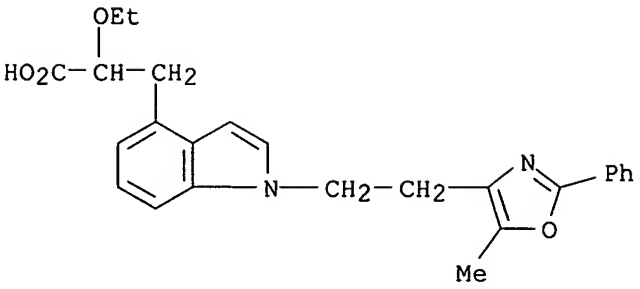

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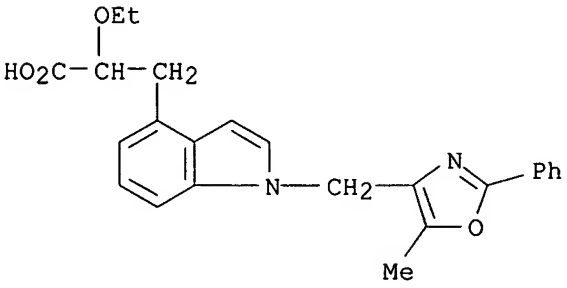

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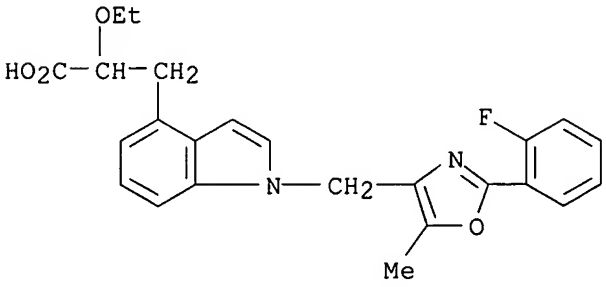

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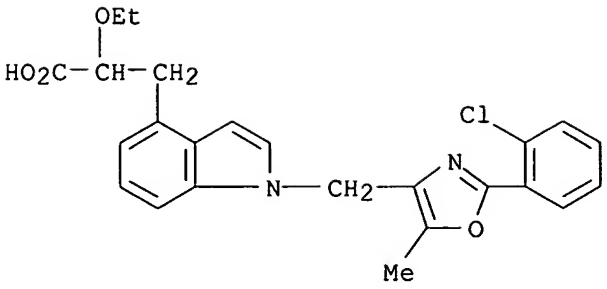

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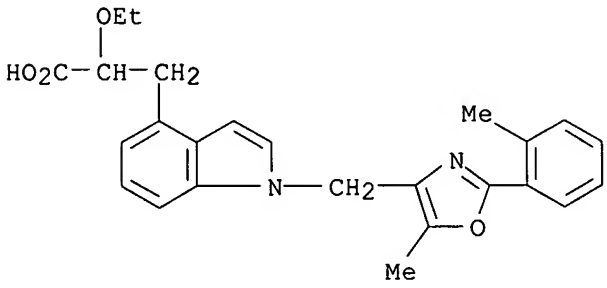

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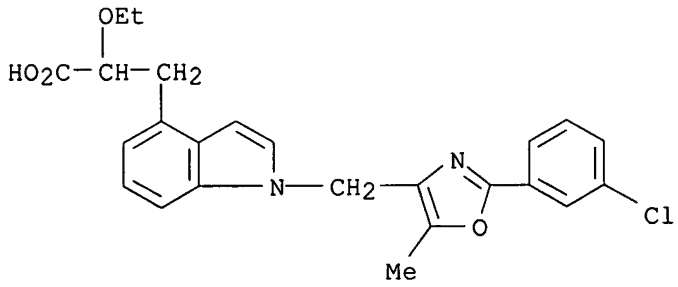

RN 698365-21-8 HCAPLUS
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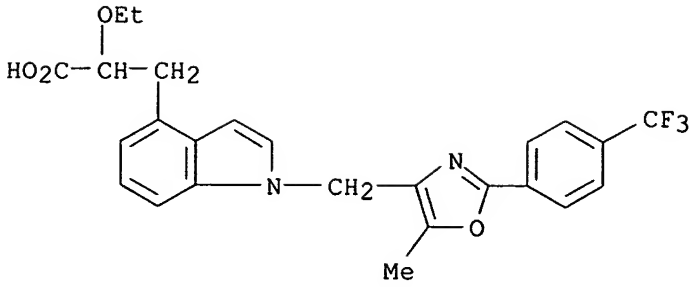

RN 698365-22-9 HCAPLUS
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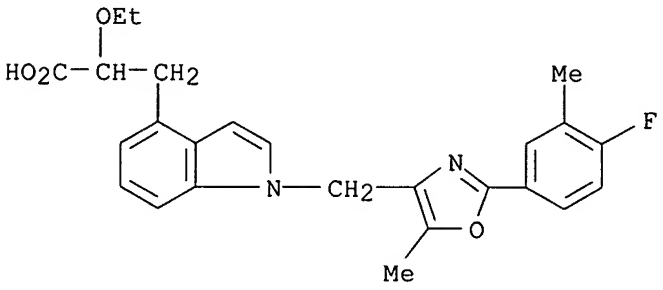
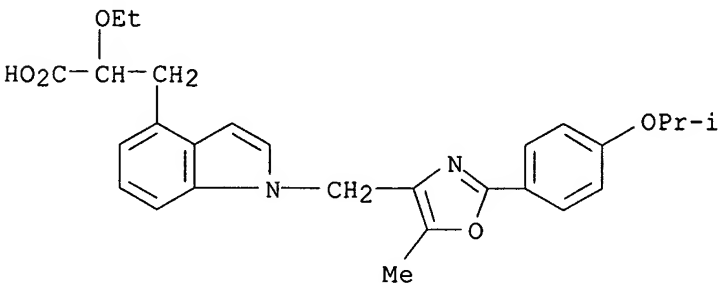
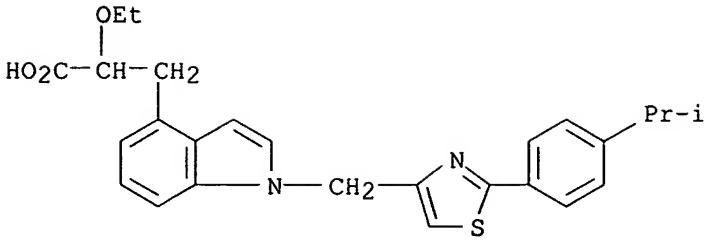


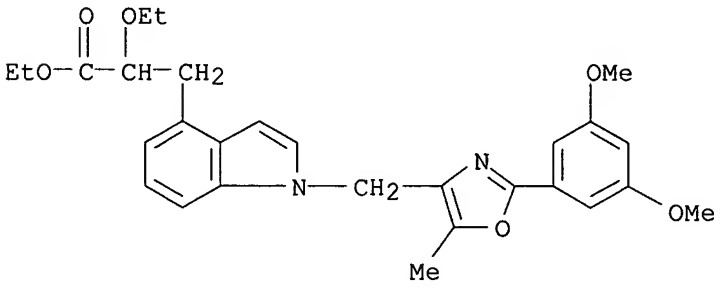
RN 698365-23-0 HCAPLUS
CN 1H-Indole-4-propanoic acid, α-ethoxy-1-[[5-methyl-2-[4-(1-methylethoxy)phenyl]-4-oxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 698365-24-1 HCAPLUS
CN 1H-Indole-4-propanoic acid, α-ethoxy-1-[[2-[4-(1-methylethyl)phenyl]-4-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



IT **698365-27-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolyl derivs. for treating non-insulin dependent diabetes mellitus)
RN 698365-27-4 HCAPLUS
CN 1H-Indole-4-propanoic acid, 1-[[2-(3,5-dimethoxyphenyl)-5-methyl-4-oxazolyl]methyl]-α-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



=> fil beilst
FILE 'BEILSTEIN' ENTERED AT 12:41:15 ON 02 FEB 2006
COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.
*** FILE CONTAINS 9,428,406 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE   *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
```

**NEW**

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

```
=> d que stat 19
L4              STR
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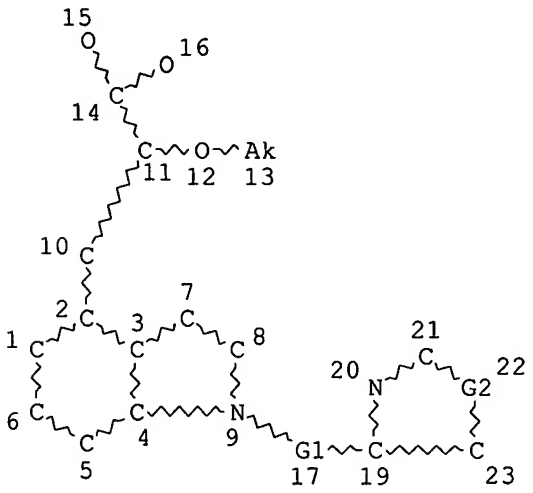


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VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE
L6            14 SEA FILE=REGISTRY SSS FUL L4
L9             0 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L6


=> fil marpat
FILE 'MARPAT' ENTERED AT 12:41:23 ON 02 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987
```

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005
DE 1020040544 17 NOV 2005
EP 1595877 16 NOV 2005
JP 2005328067 24 NOV 2005
WO 2005112644 01 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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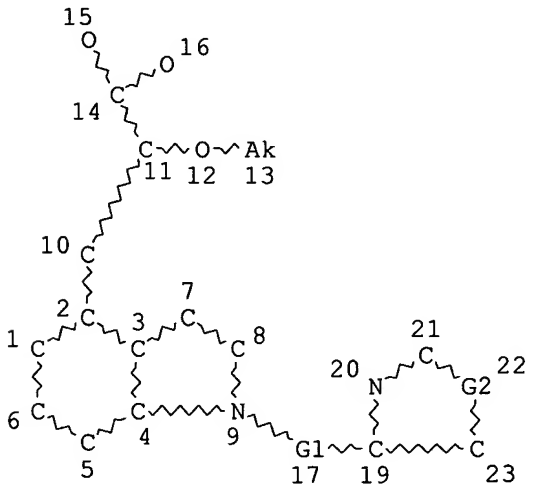
L4 STR



REP G1=(1-3) CH2
VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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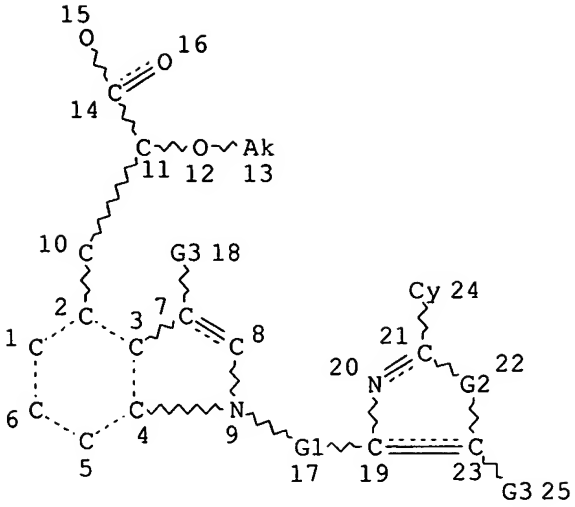
L7 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
L8 STR



REP G1=(1-3) CH2
VAR G2=O/S
VAR G3=H/AK/CB
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L11 1 SEA FILE=MARPAT SSS FUL L8
L12 0 SEA FILE=MARPAT ABB=ON PLU=ON L11 NOT L7